Claims

1. A pharmaceutical composition comprising:

   i) a safe and therapeutically effective amount of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol or a pharmaceutically acceptable derivative thereof;

   ii) a safe and therapeutically effective amount of (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable derivative thereof; and

   iii) a pharmaceutically acceptable highly compressible carrier.

2. A pharmaceutical composition comprising:

   i) a safe and therapeutically effective amount of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol or a pharmaceutically acceptable derivative thereof;

   ii) a safe and therapeutically effective amount of (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable derivative thereof; and

   iii) a pharmaceutically acceptable highly compressible carrier

wherein said composition has a volume in the range of 1.0 - 1.3 mL.

3. A pharmaceutical composition in tablet form comprising:

   i) a safe and therapeutically effective amount of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol or a pharmaceutically acceptable derivative thereof;

ii) a safe and therapeutically effective amount of (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable derivative thereof; and

iii) a pharmaceutically acceptable highly compressible carrier

wherein said composition exhibits a tablet hardness of greater than 18 kilopounds at 25 kilonewtons of force.

4. A pharmaceutical composition according to any of Claims 1- 3, wherein the pharmaceutically acceptable highly compressible carrier is selected from a group consisting of diluents, binders, and fillers.

5. A pharmaceutical composition according to Claim 4 wherein the pharmaceutically acceptable highly compressible binder is selected from the group consisting of highly compressible microcrystalline cellulose.

6. A pharmaceutical composition according to Claim 5 wherein the compressible microcrystalline cellulose is Ceolus® microcrystalline cellulose.

7. A pharmaceutical composition according to any of claims 1 - 3 comprising (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol, or a pharmaceutically acceptable derivative thereof, (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one, or a pharmaceutically acceptable derivative thereof, wherein said (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol and (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one are present in an amount of 20% to 80% of total composition weight.

8. A pharmaceutical composition according to any of Claims 1 - 7 wherein the amount of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol is from about 15 to about 1200 mg per unit dosage form.

9. A pharmaceutical composition according to any one of Claims 1 - 7 wherein the amount of (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one is from about 15 to about 1500 mg per unit dosage form.

10. A pharmaceutical composition according to Claim 9 wherein the amount of (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one is from about 100 to about 500 mg per unit dosage form.

11. A pharmaceutical composition according to Claim 10 wherein the amount of (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one is 300 mg per unit dosage form.

12. The pharmaceutical composition according to any of Claims 1 - 11 wherein (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one is provided substantially free of the corresponding (+)-enantiomer.

13. The pharmaceutical composition according to any of Claims 1 - 11 wherein (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one is provided such that the corresponding (+)-enantiomer is present in an amount of not more than about 5% w/w of the amount of lamivudine.

14. The pharmaceutical composition according to any of Claims 1 - 8 wherein the pharmaceutically acceptable derivative of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol is the hemisulfate salt.

15. The pharmaceutical composition according to any of Claims 1 - 6 wherein the pharmaceutically acceptable highly compressible carrier is present in an amount of 5% to about 50% by weight.

16. A pharmaceutical composition comprising (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol, or a pharmaceutically acceptable derivative thereof, (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one, and Ceolus® microcrystalline cellulose.

17. A pharmaceutical composition consisting essentially of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol, or a pharmaceutically acceptable derivative thereof, (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one, and Ceolus® microcrystalline cellulose.

18. A pharmaceutical composition according to Claims 16 or 17 wherein the pharmaceutically acceptable derivative of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol is the hemisulfate salt.

19. A pharmaceutical composition according to any of Claims 3 - 18 wherein the composition has a has a volume in the range of 1.0 - 1.3 mL.

20. A pharmaceutical composition according to any of Claims 1 - 19 in the form of a tablet.

21. A pharmaceutical composition according to any of Claims 1 - 20 for once daily administration.

22. A pharmaceutical composition according to any one of Claims 1 to 20 wherein the composition is coated with a pharmaceutically acceptable coating.

23. A method for maintaining high drug loading of a pharmaceutical composition by including a safe and effective amount of a pharmaceutically acceptable highly compressible carrier.

24. A method according to claim 23 wherein the highly compressible carrier is highly compressible microcrystalline cellulose.

25. A method for treating, reversing, reducing or inhibiting retroviral infections by administering a safe and effective amount of a composition according to any of Claims 1 - 21.

26. The method for treating, reversing, reducing or inhibiting retroviral infections according to Claim 25, wherein the retrovirus is HIV.

27. The use of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol or a pharmaceutically acceptable derivative thereof, (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable derivative thereof, and a pharmaceutically acceptable highly compressible carrier in the manufacture of a medicament of the treatment of a retroviral infection.

28. An article of manufacture comprising:

i) packaging material; and

ii) a pharmaceutical composition contained within the packaging material, comprising:

a) a safe and therapeutically effective amount of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol or a pharmaceutically acceptable derivative thereof;

b) a safe and therapeutically effective amount of , (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable derivative thereof; and

c) a pharmaceutically acceptable highly compressible carrier

wherein friability, hardness and disintegration of the resulting composition is maintained.

29. An article of manufacture according to Claim 28 additionally comprising a brochure containing product information.

30. An article of manufacture according to Claim 28 or Claim 29 wherein the packaging material is unit dose blister packaging.

31. A process for the preparation of a pharmaceutical composition as claimed in any of claims 1-13 which process comprises admixture of (1S, *cis*)-4-[2-amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol or a pharmaceutically acceptable derivative thereof, , (2R,cis)-4-amino-1-(2-hydroxymethyl-1,3-oxathiolan-5-yl)-(1H)-pyrimidin-2-one or a pharmaceutically acceptable derivative thereof, and a pharmaceutically acceptable highly compressible carrier.